02/07/2006 10661109.trn

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NEWS 5	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS 7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:46:47 ON 07 FEB 2006

```
=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 13:46:59 ON 07 FEB 2006
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STRUCTURE FILE UPDATES:    6 FEB 2006  HIGHEST RN 873652-66-5
DICTIONARY FILE UPDATES:   6 FEB 2006  HIGHEST RN 873652-66-5

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
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*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10661109.str
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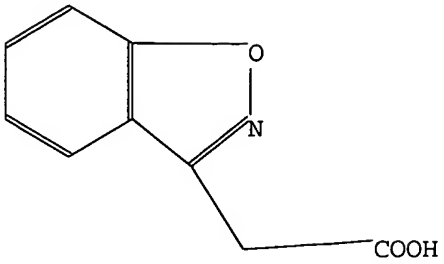
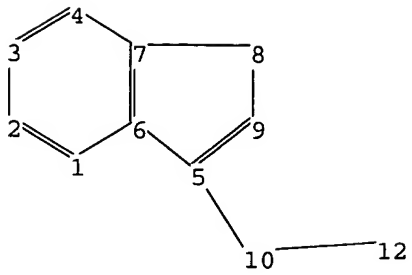


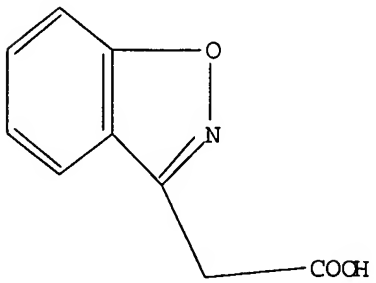


chain nodes :
10 12
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
5-10 10-12
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-9
exact bonds :
5-6 5-10 7-8 8-9 10-12
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
12:CLASS

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1

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SAMPLE SEARCH INITIATED 13:47:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       23 TO ITERATE

100.0% PROCESSED       23 ITERATIONS                              5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          173 TO      747
PROJECTED ANSWERS:               5 TO      234

L2             5 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 13:47:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      345 TO ITERATE

100.0% PROCESSED      345 ITERATIONS                            115 ANSWERS
SEARCH TIME: 00.00.01

L3           115 SEA SSS FUL L1

=> S L3/P
'P' IS NOT A VALID CROSSOVER QUALIFIER FOR L3
Answer sets created in a different file may be field qualified with a
limited set of qualifiers.  Enter HELP CROSSOVER at an arrow prompt
(=>) for specific information.

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             167.38     167.59

FILE 'HCAPLUS' ENTERED AT 13:47:59 ON 07 FEB 2006
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FILE COVERS 1907 - 7 Feb 2006  VOL 144 ISS 7
FILE LAST UPDATED: 6 Feb 2006  (20060206/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> S L3
L4            62 L3
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=> S L3/P
L5          26 L3/P

=> S L4 AND COUMARIN
          23032 COUMARIN
           6821 COUMARINS
          24693 COUMARIN
                (COUMARIN OR COUMARINS)
L6           5 L4 AND COUMARIN

=> S L5 AND COUMARIN
          23032 COUMARIN
           6821 COUMARINS
          24693 COUMARIN
                (COUMARIN OR COUMARINS)
L7           3 L5 AND COUMARIN

=> d l6 ibib abs hitstr tot

L6   ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:429406  HCAPLUS
DOCUMENT NUMBER:          142:482033
TITLE:                    A process for the manufacture of zonisamide, useful as
                          anticonvulsant agent
INVENTOR(S):              Jaweed Mukarram, Siddiqui Mohammed; Merwade, Aravind
                          Yehanathsa; Shukla, Jagdish Dattopant; Saiyad, Anis
                          Mushtaqeali
PATENT ASSIGNEE(S):       Wockhardt Limited, India
SOURCE:                   PCT Int. Appl., 15 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     ---------------      ----   --------    --------------------    --------
     WO 2005044808         A1    20050519    WO 2003-IB5052          20031111
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                       WO 2003-IB5052          20031111
OTHER SOURCE(S):          CASREACT 142:482033
GI
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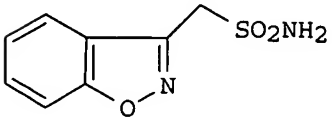


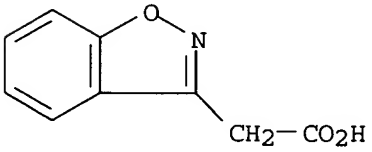
I

AB The invention relates to an improved process for the preparation of zonisamide (I), a well known anticonvulsant. Other aspects of this invention are isolation of a key intermediate, viz., isolation of crystalline sodium chloride associated with 1,2-benzisoxazole-3-methane sodium sulfonate (BOS-Na:NaCl). Zonisamide (I, 99% HPLC purity) was prepared via ring opening/cyclization of 4-hydroxycoumarin in the presence of NH2OH (step 1), sulfonation of the obtained 1,2-benzisoxazole-3-acetic acid, and chlorination/amidation of the obtained sodium 1,2-benzisoxazole-3-methanesulfonate associated with NaCl (yield of step 1 was 95-98%). The anal. characteristics like IR and XRD data of BOS-Na:NaCl were also reported to confirm its nature.

IT **4865-84-3P**, 1,2-Benzisoxazole-3-acetic acid
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(process for the manufacture of zonisamide useful as anticonvulsant agent)

RN 4865-84-3 HCAPLUS

CN 1,2-Benzisoxazole-3-acetic acid (7CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:695963 HCAPLUS

DOCUMENT NUMBER: 137:216942

TITLE: Process for the preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide

INVENTOR(S): Mendelovici, Mariofara; Nidam, Tamar

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304

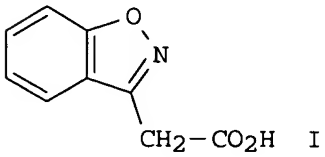
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2440030	AA	20020912	CA 2002-2440030	20020304
US 2002183525	A1	20021205	US 2002-90710	20020304
US 6677458	B2	20040113		
EP 1373229	A1	20040102	EP 2002-717527	20020304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004049053	A1	20040311	US 2003-661109	20030912
PRIORITY APPLN. INFO.:			US 2001-273172P	P 20010302
			US 2001-294847P	P 20010531
			US 2002-90710	A3 20020304
			WO 2002-US6419	W 20020304

OTHER SOURCE(S): CASREACT 137:216942

GI



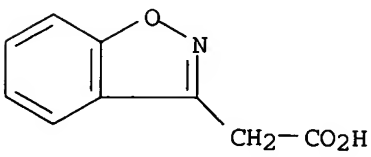
I

AB A process for the prepareation of 1,2-benzisoxazole-3-acetic acid (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compound I has com. importance as a key intermediate in the preparation of Zonisamide. For example, a solution of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixture was evaporated to dryness and the solid dissolved in aqueous NaHCO3 and extracted with ether. After acidification of the aqueous phase, the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % weight/weight yield. Avantages of the present invention are: (1) the prepare of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prepare I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.

IT **4865-84-3P**, 1,2-Benzisoxazole-3-acetic acid
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; process for preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in synthesis of zonisamide)

RN 4865-84-3 HCAPLUS

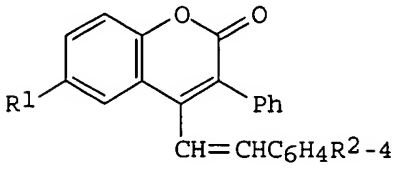
CN 1,2-Benzisoxazole-3-acetic acid (7CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:98332 HCAPLUS
DOCUMENT NUMBER: 112:98332
TITLE: Novel method in synthesis of 3-phenyl-4-styryl- and 3-phenyl-4-hydroxycoumarins. Formation of 3-phenylacetic acid benzisoxazole from 3-phenyl-4-hydroxycoumarin and hydroxylamine hydrochloride
AUTHOR(S): Lokhande, P. D.; Ghiya, B. J.
CORPORATE SOURCE: Dep. Org. Chem., Inst. Sci., Nagpur, 440-001, India
SOURCE: Journal of the Indian Chemical Society (1989), 66(5), 314-15
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:98332
GI



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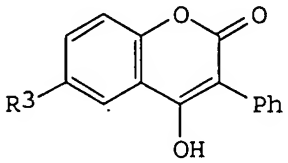
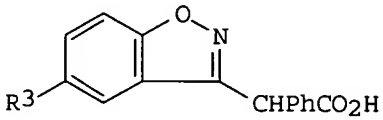


II

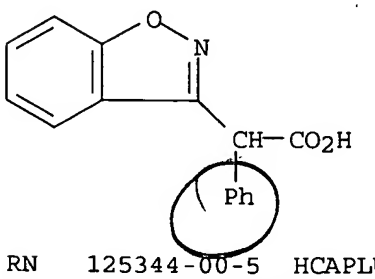


III

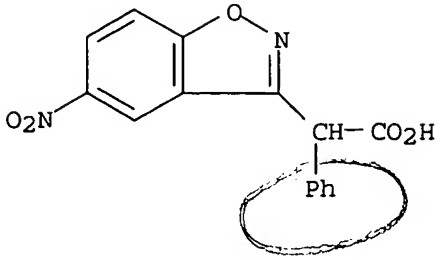
AB 2'-Hydroxychalcones were acylated by PhCH2CO2H, and the ester products were treated with KOH to give **coumarins** I (R1 = H, Me, Cl; R2 = OMe, H). Similarly, salicylate esters were converted to hydroxycoumarins II (R3 = H, NO2) which reacted with HONH2.HCl to give benzisoxazoles III.

IT **125343-99-9 125344-00-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring contraction by, of hydroxycoumarins, benzisoxazoles from)

RN 125343-99-9 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, α-phenyl- (9CI) (CA INDEX NAME)



RN 125344-00-5 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 5-nitro-α-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:203921 HCAPLUS
DOCUMENT NUMBER: 90:203921
TITLE: Synthesis of 3-(3'-benzisoxazolyl) **coumarins**
AUTHOR(S): Lakshmi, A. Sree; Rao, K. Venkateswara; Sundaramurthy, V.
CORPORATE SOURCE: Dep. Chem., Osmania Univ., Hyderabad, India
SOURCE: Current Science (1979), 48(4), 153-4
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: English
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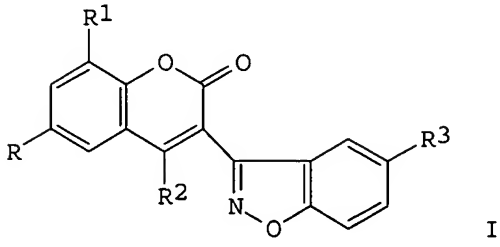
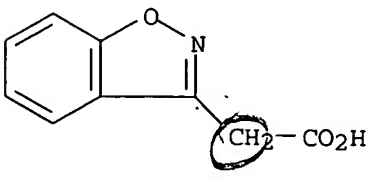


I

AB The cyclocondensation of benzisoxazole-3-acetic acids with salicylaldehydes and o-hydroxyacetophenones gave title compds. I (R = H, NO2, Cl, Me; R1 = H, NO2, Cl; R2 = H, Me; R3 = Me, H), useful as bactericides and fungicides (no data). Salicylaldehyde was treated with 5-methylbenzisoxazole-3-acetic acid, Ac2O, and Et3N to give I (R = R1 = R2 = H, R3 = Me).

IT **4865-84-3 70154-01-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction with salicylaldehydes and 2'-hydroxyacetophenones)
RN 4865-84-3 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 70154-01-7 HCAPLUS
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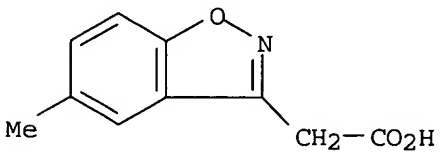
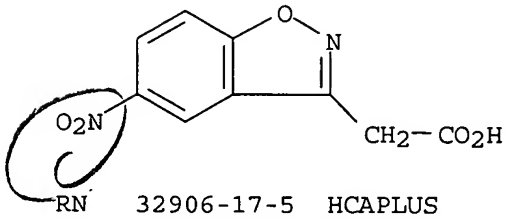
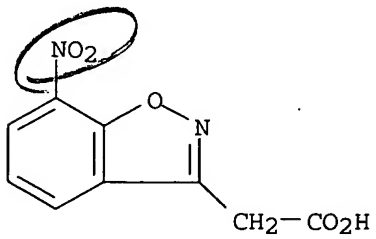


RS1. A518

L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:463554 HCAPLUS
DOCUMENT NUMBER: 75:63554
TITLE: Reaction between **coumarins** and hydroxylamine
AUTHOR(S): Giannella, Mario; Gualtieri, Fulvio; Stein, Maria Luisa
CORPORATE SOURCE: Inst. Pharm. Org. Chem., Univ. Camerino, Camerino, Italy
SOURCE: Journal of Heterocyclic Chemistry (1971), 8(3), 397-403
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The Posner reaction between **coumarin** and hydroxylamine was studied and extended to several substituted **coumarins**. Isolation of some significant reaction intermediates permitted rationalization of a possible reaction pathway.
IT **32906-16-4P 32906-17-5P 33026-03-8P**
34610-57-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32906-16-4 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 5-nitro- (8CI, 9CI) (CA INDEX NAME)

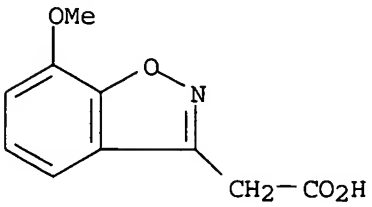


RN 32906-17-5 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 7-nitro- (8CI, 9CI) (CA INDEX NAME)

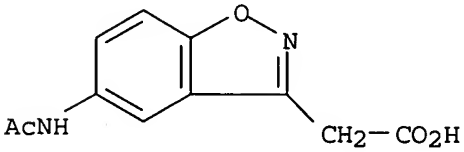


RN 33026-03-8 HCAPLUS

CN 1,2-Benzisoxazole-3-acetic acid, 7-methoxy- (8CI, 9CI) (CA INDEX NAME)



RN 34610-57-6 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 5-acetamido- (8CI) (CA INDEX NAME)



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L7 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:429406 HCAPLUS
DOCUMENT NUMBER: 142:482033
TITLE: A process for the manufacture of zonisamide, useful as anticonvulsant agent
INVENTOR(S): Jaweed Mukarram, Siddiqui Mohammed; Merwade, Aravind Yehanathsa; Shukla, Jagdish Dattopant; Saiyad, Anis Mushtaqeali
PATENT ASSIGNEE(S): Wockhardt Limited, India
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044808	A1	20050519	WO 2003-IB5052	20031111

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2003-IB5052 20031111
OTHER SOURCE(S): CASREACT 142:482033
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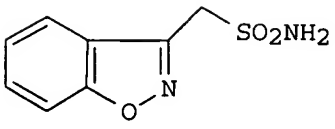


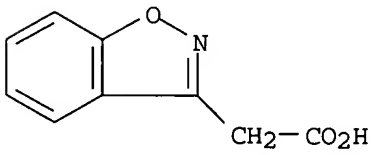
I

AB The invention relates to an improved process for the preparation of zonisamide (I), a well known anticonvulsant. Other aspects of this invention are isolation of a key intermediate, viz., isolation of crystalline sodium chloride associated with 1,2-benzisoxazole-3-methane sodium sulfonate (BOS-Na:NaCl). Zonisamide (I, 99% HPLC purity) was prepared via ring opening/cyclization of 4-hydroxycoumarin in the presence of NH2OH (step 1), sulfonation of the obtained 1,2-benzisoxazole-3-acetic acid, and chlorination/amidation of the obtained sodium 1,2-benzisoxazole-3-methanesulfonate associated with NaCl (yield of step 1 was 95-98%). The anal. characteristics like IR and XRD data of BOS-Na:NaCl were also reported to confirm its nature.

IT **4865-84-3P**, 1,2-Benzisoxazole-3-acetic acid
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(process for the manufacture of zonisamide useful as anticonvulsant agent)

RN 4865-84-3 HCAPLUS

CN 1,2-Benzisoxazole-3-acetic acid (7CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:695963 HCAPLUS
DOCUMENT NUMBER: 137:216942
TITLE: Process for the preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide
INVENTOR(S): Mendelovici, Mariorara; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2440030	AA	20020912	CA 2002-2440030	20020304
US 2002183525	A1	20021205	US 2002-90710	20020304
US 6677458	B2	20040113		
EP 1373229	A1	20040102	EP 2002-717527	20020304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004049053	A1	20040311	US 2003-661109	20030912
PRIORITY APPLN. INFO.:			US 2001-273172P	P 20010302
			US 2001-294847P	P 20010531
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			WO 2002-US6419	W 20020304

OTHER SOURCE(S): CASREACT 137:216942
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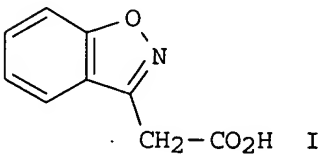
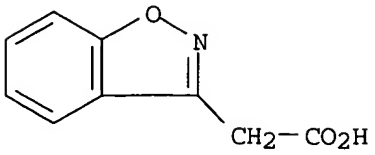


I

AB A process for the prepareation of 1,2-benzisoxazole-3-acetic acid (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compound I has com. importance as a key intermediate in the preparation of Zonisamide. For example, a solution of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixture was evaporated to dryness and the solid dissolved in aqueous NaHCO3 and extracted with ether. After acidification of the aqueous phase, the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % weight/weight yield. Avantages of the present invention are: (1) the prepare of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prepare I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.

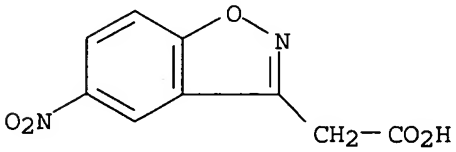
IT **4865-84-3P**, 1,2-Benzisoxazole-3-acetic acid
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; process for preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in synthesis of zonisamide)

RN 4865-84-3 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid (7CI, 8CI, 9CI) (CA INDEX NAME)

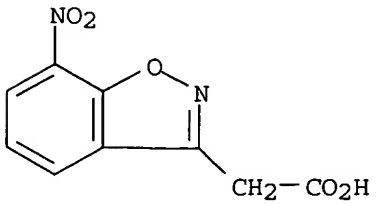


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

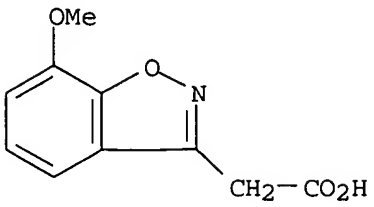
L7 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:463554 HCAPLUS
DOCUMENT NUMBER: 75:63554
TITLE: Reaction between **coumarins** and hydroxylamine
AUTHOR(S): Giannella, Mario; Gualtieri, Fulvio; Stein, Maria Luisa
CORPORATE SOURCE: Inst. Pharm. Org. Chem., Univ. Camerino, Camerino, Italy
SOURCE: Journal of Heterocyclic Chemistry (1971), 8(3), 397-403
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The Posner reaction between **coumarin** and hydroxylamine was studied and extended to several substituted **coumarins**. Isolation of some significant reaction intermediates permitted rationalization of a possible reaction pathway.
IT **32906-16-4P 32906-17-5P 33026-03-8P 34610-57-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32906-16-4 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 5-nitro- (8CI, 9CI) (CA INDEX NAME)



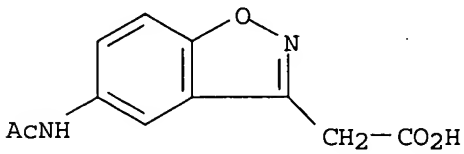
RN 32906-17-5 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 7-nitro- (8CI, 9CI) (CA INDEX NAME)



RN 33026-03-8 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 7-methoxy- (8CI, 9CI) (CA INDEX NAME)



RN 34610-57-6 HCAPLUS
CN 1,2-Benzisoxazole-3-acetic acid, 5-acetamido- (8CI) (CA INDEX NAME)

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        219947 ACETIC
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                 (ACETIC OR ACETICS)
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L9            1 L8 AND 4-HYDROXY-COUMARIN

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L9   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2002:695963  HCAPLUS
DOCUMENT NUMBER:          137:216942
```

TITLE: Process for the preparation of 1,2-**benzisoxazole-3-acetic acid**, an intermediate in the synthesis of zonisamide

INVENTOR(S): Mendelovici, Mariorara; Nidam, Tamar

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440030	AA	20020912	CA 2002-2440030	20020304
US 2002183525	A1	20021205	US 2002-90710	20020304
US 6677458	B2	20040113		
EP 1373229	A1	20040102	EP 2002-717527	20020304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004049053	A1	20040311	US 2003-661109	20030912

PRIORITY APPLN. INFO.:

US 2001-273172P	P	20010302
US 2001-294847P	P	20010531
US 2002-90710	A3	20020304
WO 2002-US6419	W	20020304

OTHER SOURCE(S): CASREACT 137:216942

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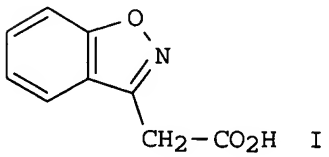

I

AB A process for the prepareation of 1,2-**benzisoxazole-3-acetic acid** (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compound I has com. importance as a key intermediate in the preparation of Zonisamide. For example, a solution of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixture was evaporated to dryness and the solid dissolved in aqueous NaHCO3 and extracted with ether. After acidification of the aqueous phase,

the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % weight/weight yield. Avantages of the present invention are: (1) the prepare of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prepare I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> S L8 AND PROCESS
2199424 PROCESS
1480808 PROCESSES
3278489 PROCESS
(PROCESS OR PROCESSES)
L10 7 L8 AND PROCESS

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L10 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1050940 HCAPLUS
DOCUMENT NUMBER: 143:326350
TITLE: One-pot **process** for the preparation of 1,2-benzisoxazole-3-methanesulfonamide from 4-hydroxycoumarin
INVENTOR(S): Ueno, Yoshikazu; Ishikura, Tsutomu
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215796	A1	20050929	US 2005-88802	20050325
WO 2005092869	A1	20051006	WO 2005-JP5349	20050324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-556073P P 20040325
OTHER SOURCE(S): CASREACT 143:326350
AB 1,2-Benzisoxazole-3-methanesulfonamide was prepared by reaction of 4-hydroxycoumarin and NH2OH (salt) in H2O to give a mixture, acidification of the mixture and addition of ClCH2CH2Cl, removal of the aqueous layer to give a mixture containing 1,2-**benzisoxazole-3-acetic acid** and ClCH2CH2Cl, further removal of H2O by distillation, addition of ClSO3H, addition of base to give an alkali metal salt of 1,2-benzisoxazole-3-

methanesulfonic acid, addition of POCl3 to give 1,2-benzisoxazole-3-methanesulfonyl chloride, and addition of NH3.

L10 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:429406 HCAPLUS
DOCUMENT NUMBER: 142:482033
TITLE: A **process** for the manufacture of zonisamide, useful as anticonvulsant agent
INVENTOR(S): Jaweed Mukarram, Siddiqui Mohammed; Merwade, Aravind Yehanathsa; Shukla, Jagdish Dattopant; Saiyad, Anis Mushtaqeali
PATENT ASSIGNEE(S): Wockhardt Limited, India
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044808	A1	20050519	WO 2003-IB5052	20031111

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PRIORITY APPLN. INFO.: WO 2003-IB5052 20031111
OTHER SOURCE(S): CASREACT 142:482033
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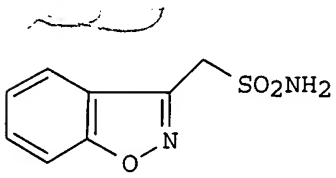

I

AB The invention relates to an improved **process** for the preparation of zonisamide (I), a well known anticonvulsant. Other aspects of this invention are isolation of a key intermediate, viz., isolation of crystalline sodium chloride associated with 1,2-benzisoxazole-3-methane sodium sulfonate (BOS-Na:NaCl). Zonisamide (I, 99% HPLC purity) was prepared via ring opening/cyclization of 4-hydroxycoumarin in the presence of NH2OH (step 1), sulfonation of the obtained 1,2-**benzisoxazole-3-acetic acid**, and chlorination/amidation of the obtained sodium 1,2-benzisoxazole-3-methanesulfonate associated with NaCl (yield of step 1 was 95-98%). The anal. characteristics like IR and XRD data of BOS-Na:NaCl were also reported to confirm its nature.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:590879 HCAPLUS
DOCUMENT NUMBER: 139:154994
TITLE: Novel sulfonation method for zonisamide intermediate in zonisamide synthesis and their novel crystal forms
INVENTOR(S): Nidam, Tamar; Mendelovici, Marioara; Schwartz, Edward; Wizel, Shlomit
PATENT ASSIGNEE(S): Israel
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 233,190.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144527	A1	20030731	US 2002-288135	20021105
US 2003114682	A1	20030619	US 2002-233190	20020829
US 6841683	B2	20050111		
WO 2004020419	A1	20040311	WO 2002-US35537	20021105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004138471	A1	20040715	US 2003-662966	20030915
US 2004138472	A1	20040715	US 2003-662986	20030915
US 2005027126	A1	20050203	US 2004-928313	20040830

PRIORITY APPLN. INFO.:
US 2001-316109P P 20010830
US 2001-344439P P 20011024
US 2002-233190 A2 20020829

AB The present invention relates to a novel sulfonation of an intermediate of zonisamide. The sulfonation **processes** using chlorosulfonic acid as well as acetic anhydride and sulfuric acid in an organic solvent are disclosed. Crystalline forms of benzisoxazole methanesulfonic acid (BOS-H) and its salts (BOS-Na, BOS-Ca, and BOS-Ba) and their novel preparation **processes** are disclosed.

L10 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:202630 HCAPLUS
DOCUMENT NUMBER: 138:221579
TITLE: **Process** for the preparation of 1,2-benzisoxazole-3-methanesulfonic acid and its salts, intermediates in the synthesis of Zonisamide
INVENTOR(S): Nidam, Tamar; Mendelovici, Marioara; Schwartz, Eduard; Wizel, Shlomit
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020708	A1	20030313	WO 2002-US27593	20020829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2458905	AA	20030313	CA 2002-2458905	20020829
EP 1430037	A1	20040623	EP 2002-768748	20020829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005506980	T2	20050310	JP 2003-524979	20020829
PRIORITY APPLN. INFO.:			US 2001-316109P P	20010830
			US 2001-344439P P	20011024
			WO 2002-US27593 W	20020829

OTHER SOURCE(S): CASREACT 138:221579
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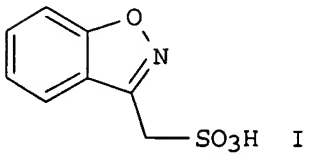

I

AB A **process** for the preparation of 1,2-benzisoxazole-3-methanesulfonic acid (I) by sulfonation of 1,2-**benzisoxazole-3-acetic acid** with chlorosulfonic acid or acyl sulfates in an organic solvent and optional conversion to its salts is disclosed. I has com. importance as a key intermediate in the preparation of Zonisamide. For example, a solution of 1,2-**benzisoxazole-3-acetic acid** (20 gm), 98% H2SO4 (22 gm), and Ac2O (23 gm) in AcOEt (80 mL) was heated at reflux for 4 h and the cooled reaction mixture treated with aqueous 10% aqueous NaOH (120 mL) to give I•Na (20.33 gm) in 100% purity. Advantages of the present invention are: (1) the preparation of I without the use of dioxane, improving the environmental safety of the reaction; and (2) the increased selectivity for preparation of the monosulfonated over the bisulfonated benzisoxazole. Crystalline forms of 1,2-benzisoxazole-3-methanesulfonic acid (BOS-H) and its salts (BOS-Na, BOS-Ca, and BOS-Ba) were also characterized.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:695963 HCAPLUS
DOCUMENT NUMBER: 137:216942

TITLE: **Process** for the preparation of 1,2-**benzisoxazole-3-acetic acid**, an intermediate in the synthesis of zonisamide

INVENTOR(S): Mendelovici, Mariorara; Nidam, Tamar

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002070495	A1	20020912	WO 2002-US6419		20020304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2440030	AA	20020912	CA 2002-2440030		20020304
US 2002183525	A1	20021205	US 2002-90710		20020304
US 6677458	B2	20040113			
EP 1373229	A1	20040102	EP 2002-717527		20020304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2004049053	A1	20040311	US 2003-661109		20030912
PRIORITY APPLN. INFO.:			US 2001-273172P	P	20010302
			US 2001-294847P	P	20010531
			US 2002-90710	A3	20020304
			WO 2002-US6419	W	20020304

OTHER SOURCE(S): CASREACT 137:216942

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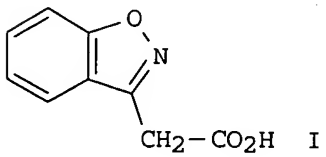

I

AB A **process** for the prepareation of 1,2-**benzisoxazole-3-acetic acid** (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compound I has com. importance as a key intermediate in the preparation of Zonisamide. For example, a solution of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixture was evaporated to dryness and the solid dissolved in aqueous NaHCO3 and extracted with ether. After acidification of the aqueous phase,

the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % weight/weight yield. Avantages of the present invention are: (1) the prepare of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The **process** is thus substantially less hazardous than previous methods. The invention also claims the prepare I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:328908 HCAPLUS
DOCUMENT NUMBER: 125:53737
TITLE: Methoxylation modifies the activity of 1,2-**benzisoxazole-3-acetic acid**: 6,7-dimethoxy-1,2-**benzisoxazole-3-acetic acid** is an auxin antagonist in cytokinin mediated **processes**
AUTHOR(S): Ricci, Ada; Maggiali, Cesare Augusto; Torelli, Anna; Amorosi, Sonia; Ronchini, Ferdinando; Branca, Camillo
CORPORATE SOURCE: Dipartimento di Biologia Evolutiva, Via delle Scienze, Parma, 43100, Italy
SOURCE: Plant Science (Shannon, Ireland) (1996), 117(1,2), 151-158
CODEN: PLSCE4; ISSN: 0168-9452
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The insertion of a methoxy group in different positions of the aromatic ring modifies the activity of 1,2-**benzisoxazole-3-acetic acid** (BOAA), a specific morphogenetic compound with no activity on cell elongation or root growth. Monomethoxylation in the 4- and 7-position is critical in determining the kind of activity: 4-OMeBOAA induces stem elongation, inhibits root growth and does not improve shoot production; 7-OMeBOAA inhibits stem elongation and shoot production and is unable to induce root growth. 6,7-OMeBOAA, inactive on stem elongation and root growth, is unable to induce the expression of Pg5-GUS gene in the presence of BAP and inhibits the expression of this gene when induced by BAP plus IAA. Furthermore, 6,7-OMeBOAA inhibits completely shoot production and can therefore be regarded as an auxin antagonist in these cytokinin-mediated **processes.**

L10 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:547166 HCAPLUS
DOCUMENT NUMBER: 113:147166
TITLE: Effects of benzisoxazole and benzisothiazole on tomato plant regeneration in vitro
AUTHOR(S): Branca, Camillo; Torelli, Anna; Bassi, Maria
CORPORATE SOURCE: Ist. Bot., Univ. Parma, Parma, 43100, Italy
SOURCE: Plant Cell, Tissue and Organ Culture (1990), 21(1), 17-19
CODEN: PTCEDJ; ISSN: 0167-6857
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effects of two synthetic auxins, 1,2-benzisothiazole-3-acetic acid (BOA) and 1,2-**benzisoxazole-3-acetic acid** (BIA), on plant regeneration in vitro have been studied on

explants of tomato cotyledons. The activity of these substances on cell elongation has also been tested on pea stem segments. BOA is particularly effective in inducing the formation of shoots but has a weak activity on cell elongation, while BIA, which is more effective in inducing cell elongation, is less active in morphogenesis. Thus, these two activities are not related to each other, the receptors involved in the two **processes** are probably different, and the chemical structure of the auxin may be an important factor in its morphogenetic action.

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	83.04	250.63

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-12.00	-12.00

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